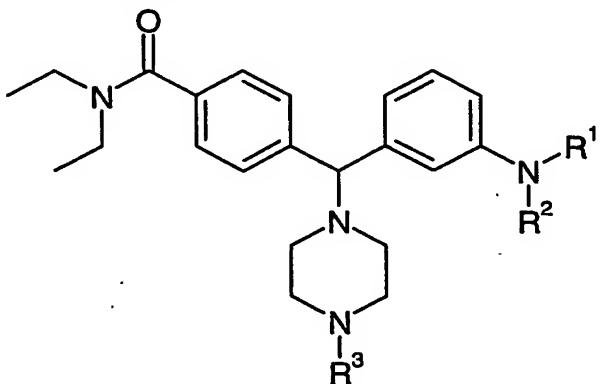


What is claimed is :

1. A compound of formula I, a pharmaceutically acceptable salt thereof:



5

I

wherein

R^1 is selected from C_{3-6} alkyl, C_{6-10} aryl, C_{2-9} heteroaryl, C_{6-10} aryl- C_{1-4} alkyl, C_{2-9} heteroaryl- C_{1-4} alkyl, C_{3-10} cycloalkyl, C_{3-10} cycloalkyl- C_{1-4} alkyl, $R^8-C(=O)-$, $10 R^8-S(=O)_2-$, $R^8-S(=O)-$, $R^8-NHC(=O)-$, $R^8-C(=S)-$ and $R^8-NH-C(=S)-$, wherein R^8 is selected from C_{3-6} alkyl, C_{6-10} aryl, C_{2-9} heteroaryl, C_{6-10} aryl- C_{1-4} alkyl, C_{2-9} heteroaryl- C_{1-4} alkyl, C_{3-10} cycloalkyl, and C_{3-10} cycloalkyl- C_{1-4} alkyl, wherein said C_{3-6} alkyl, C_{6-10} aryl, C_{2-9} heteroaryl, C_{6-10} aryl- C_{1-4} alkyl, C_{2-9} heteroaryl- C_{1-4} alkyl, C_{3-10} cycloalkyl, and C_{3-10} cycloalkyl- C_{1-4} alkyl used in defining R^1 and R^8 are optionally substituted with one or more groups selected from -R, -NO₂, -OR, -Cl, -Br, -I, -F, -CF₃, -C(=O)R, -C(=O)OH, -NH₂, -SH, -NHR, -NR₂, -SR, -SO₃H, -SO₂R, -S(=O)R, -CN, -OH, -C(=O)OR, -C(=O)NR₂, -NRC(=O)R, and -NRC(=O)-OR, wherein R is, independently, selected from -H, C_{1-6} alkyl and phenyl;

R^2 is selected from -H and C_{1-6} alkyl optionally substituted with one or more groups selected from halogen, -CF₃, -OH, C_{1-3} alkoxy, and halogen; and

R^3 is selected from -H, C_{1-6} alkyl-O-C(=O)-, C_{1-6} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl- C_{1-4} alkyl, wherein said C_{1-6} alkyl-O-C(=O)-, C_{1-6} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl- C_{1-4} alkyl are optionally substituted with one or more groups selected from C_{1-6} alkyl, halogenated C_{1-6} alkyl, -NO₂, -CF₃, C_{1-6} alkoxy and halogen.

2. A compound according to claim 1, wherein

R^1 is selected from C_{3-6} alkyl, C_{6-10} aryl, C_{2-6} heteroaryl, C_{6-10} aryl- C_{1-4} alkyl, C_{2-6} heteroaryl- C_{1-4} alkyl, C_{3-10} cycloalkyl, C_{3-10} cycloalkyl- C_{1-4} alkyl, wherein said C_{3-6} alkyl, C_{6-10} aryl, C_{2-6} heteroaryl, C_{6-10} aryl- C_{1-4} alkyl, C_{2-6} heteroaryl- C_{1-4} alkyl, 5 C_{3-10} cycloalkyl, C_{3-10} cycloalkyl- C_{1-4} alkyl are optionally substituted with one or more groups selected from C_{1-4} alkyl, halogen, $-CF_3$, $-OH$, C_{1-3} alkoxy, phenoxy, and halogen;

R^2 is selected from $-H$ and C_{1-3} alkyl; and

R^3 is selected from $-H$ and C_{1-6} alkyl- $O-C(=O)-$.

10

3. A compound according to claim 2,

wherein R^1 is R^9-CH_2- , wherein R^9 is selected from phenyl, pyridyl, thienyl, furyl, imidazolyl, triazolyl, pyrrolyl, thiazolyl, N -oxido-pyridyl, benzyl, pyridylmethyl, thienylmethyl, furylmethyl, imidazolylmethyl, triazolylmethyl, 15 pyrrolylmethyl, thiazolylmethyl and N -oxido-pyridylmethyl, optionally substituted with one or more groups selected from C_{1-4} alkyl, halogen, $-CF_3$, $-OH$, C_{1-3} alkoxy, phenoxy and halogen; and

R^2 and R^3 are hydrogen.

20 4. A compound according to claim 3,

wherein R^9 is selected from benzyl, phenyl, pyridyl, thienyl, furyl, imidazolyl, pyrrolyl and thiazolyl, optionally substituted with one or more groups selected from C_{1-4} alkyl, halogen, $-CF_3$, $-OH$, C_{1-3} alkoxy, phenoxy, and halogen.

25 5. A compound according to claim 4, wherein

wherein R^9 is selected from benzyl, phenyl, pyridyl, thienyl, furyl, imidazolyl, pyrrolyl and thiazolyl.

6. A compound according to claim 1, wherein

30 R^1 is selected from C_{3-6} alkyl, C_{3-10} cycloalkyl, and C_{3-10} cycloalkyl- C_{1-4} alkyl, wherein said C_{3-6} alkyl, C_{3-10} cycloalkyl, and C_{3-10} cycloalkyl- C_{1-4} alkyl are optionally

substituted with one or more groups selected from C_{1-4} alkyl, halogen, $-CF_3$, $-OH$, C_{1-3} alkoxy, phenoxy, and halogen;

R^2 is $-H$ or C_{1-3} alkyl; and

R^3 is $-H$, C_{1-6} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl- C_{1-4} alkyl, wherein said

5 C_{1-6} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl- C_{1-4} alkyl are optionally substituted with one or more groups selected from C_{1-4} alkyl, halogen, $-CF_3$, $-OH$, C_{1-3} alkoxy, phenoxy, and halogen.

7. A compound according to claim 6, wherein

10 R^1 is selected from 1-propyl, 2-propyl, 1-butyl, 2-butyl, t-butyl, 2-methyl-1-propyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, and cyclononyl;

R^2 is selected from $-H$, methyl, ethyl, 1-propyl and 2-propyl; and

R^3 is selected from $-H$, methyl, ethyl, allyl, 3,3-dimethyl-allyl, cyclopropylmethyl, 2-methoxy-ethyl, and 3-methoxy-1-propyl.

15

8. A compound according to claim 1, wherein

R^1 is selected from $R^8-C(=O)-$, $R^8-S(=O)_2-$, $R^8-S(=O)-$, $R^8-NHC(=O)-$, $R^8-C(=S)-$ and $R^8-NH-C(=S)-$, wherein R^8 is selected from C_{3-6} alkyl, C_{6-10} aryl,

C_{2-6} heteroaryl, C_{6-10} aryl- C_{1-4} alkyl, C_{2-6} heteroaryl- C_{1-4} alkyl, C_{3-10} cycloalkyl, and C_{3-10} cycloalkyl- C_{1-4} alkyl; wherein said C_{3-6} alkyl, C_{6-10} aryl, C_{2-6} heteroaryl, C_{6-10} aryl- C_{1-4} alkyl, C_{2-6} heteroaryl- C_{1-4} alkyl, C_{3-10} cycloalkyl, and C_{3-10} cycloalkyl- C_{1-4} alkyl are

20 optionally substituted with C_{1-4} alkyl, halogen, $-CF_3$, $-OH$, C_{1-3} alkoxy, phenoxy, and halogen;

R^2 is $-H$; and

25 R^3 is selected from $-H$ and C_{1-6} alkyl- $O-C(=O)-$.

9. A compound according to claim 8, wherein

R^8 is selected from phenyl, benzyl, phenethyl and cyclohexyl, wherein said phenyl, benzyl, phenethyl and cyclohexyl are optionally substituted with one or more groups selected from methyl, methoxy and halogen.

30 10. A compound according to claim 1, wherein the compound is selected from:

N,N-diethyl-4-((S)piperazin-1-yl{3-[(1,3-thiazol-2-ylmethyl)amino]phenyl}methyl)benzamide;

N,N-diethyl-4-((R)-piperazin-1-yl{3-[(1,3-thiazol-2-ylmethyl)amino]phenyl}methyl)benzamide;

5 4-[(S)-[3-(benzylamino)phenyl](piperazin-1-yl)methyl]-N,N-diethylbenzamide;

N,N-diethyl-4-((R)-piperazin-1-yl{3-[(thien-2-ylmethyl)amino]phenyl}methyl)benzamide;

N,N-diethyl-4-((S)-piperazin-1-yl{3-[(thien-2-ylmethyl)amino]phenyl}methyl)benzamide;

10 N,N-diethyl-4-[(S)-{3-[(2-furylmethyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;

4-[(R)-[3-(benzylamino)phenyl](piperazin-1-yl)methyl]-N,N-diethylbenzamide;

N,N-diethyl-4-[(R)-{3-[(2-furylmethyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;

15 N,N-diethyl-4-((R)-piperazin-1-yl{3-[(thien-3-ylmethyl)amino]phenyl}methyl)benzamide;

N,N-diethyl-4-((S)-piperazin-1-yl{3-[(thien-3-ylmethyl)amino]phenyl}methyl)benzamide;

N,N-diethyl-4-[(R)-{3-[(3-furylmethyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;

20 N,N-diethyl-4-[(R)-{3-[(2-phenylethyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;

4-[(R)-{3-[(cyclohexylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-

25 diethylbenzamide;

N,N-diethyl-4-[(R)-piperazin-1-yl(3-[(4-trifluoromethyl)benzyl]amino)phenyl)methyl]benzamide;

4-[(R)-{3-[(cyclopentylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-

diethylbenzamide;

30 4-[(S)-{3-[(cyclohexylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-

diethylbenzamide;

4-[(R)-{3-[(cyclohex-1-en-1-ylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

N,N-diethyl-4-[(S)-{3-[methyl(phenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;

5 N,N-diethyl-4-[(S)-{3-[ethyl(phenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;

N,N-diethyl-4-[(R)-{3-[methyl(phenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;

N,N-diethyl-4-[(R)-{3-[ethyl(phenyl)amino]phenyl}(piperazin-1-

10 yl)methyl]benzamide;

4-[(R)-{3-[(cyclohexylmethyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

4-[(R)-{3-(cyclopentylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

4-[(R)-{3-(cycloheptylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

15 4-[(R)-{3-(cyclooctylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

4-[(R)-{3-(cyclononylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

4-[(S)-{3-(cyclohexylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

N,N-diethyl-4-[(R)-{3-[(4-methylphenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;

20 N,N-diethyl-4-[(S)-{3-[(4-methylphenyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;

4-[(R)-{3-[(3-chlorophenyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

4-[(S)-{3-[(3-chlorophenyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

25

4-[(R)-{3-[(2-fluorophenyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

4-[(S)-{3-[(2-fluorophenyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

30

4-[(R)-{3-(benzoylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;

N,N-diethyl-4-[(R)-{3-[(phenylacetyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;

4-[(S)-{3-(benzoylamino)phenyl}(piperazin-1-yl)methyl]-N,N-diethylbenzamide;
N,N-diethyl-4-[(S)-{3-[(phenylacetyl)amino]phenyl}(piperazin-1-
yl)methyl]benzamide;

5 N,N-diethyl-4-[(R)-{3-[(2-methyl-2-phenylpropanoyl)amino]phenyl}(piperazin-1-
yl)methyl]benzamide;

N,N-diethyl-4-[(R)-(3-[(3-fluorophenyl)acetyl]amino)phenyl](piperazin-1-
yl)methyl]benzamide;

10 4-[(R)-{3-[(cyclohexylacetyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-
diethylbenzamide;

15 N,N-diethyl-4-[(R)-{3-[(3-phenylpropanoyl)amino]phenyl}(piperazin-1-
yl)methyl]benzamide;

4-[(R)-{3-[(cyclohexylcarbonyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-
diethylbenzamide;

N,N-diethyl-4-[(R)-{3-[(phenylsulfonyl)amino]phenyl}(piperazin-1-
yl)methyl]benzamide;

20 4-[(R)-{3-[(benzylsulfonyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-
diethylbenzamide;

N,N-diethyl-4-[(S)-{3-[(phenylsulfonyl)amino]phenyl}(piperazin-1-
yl)methyl]benzamide;

25 4-[(R)-{3-[(anilinocarbonyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-
diethylbenzamide;

4-[(R)-{3-[(anilinocarbonothioyl)amino]phenyl}(piperazin-1-yl)methyl]-N,N-
diethylbenzamide;

N,N-diethyl-4-[(S)-1-piperazinyl[3-(propylamino)phenyl]methyl]benzamide;

25 4-[(S)-[3-(dipropylamino)phenyl]-1-piperazinylmethyl]-N,N-diethylbenzamide;

N,N-diethyl-4-[(R)-1-piperazinyl[3-(propylamino)phenyl]methyl]benzamide;

4-[(R)-[3-(dipropylamino)phenyl]-1-piperazinylmethyl]-N,N-diethylbenzamide;

N,N-diethyl-4-[(S)-1-piperazinyl[3-[[[4-(3-pyridinyl)phenyl]methyl]-
amino]phenyl]methyl]benzamide;

30 N,N-diethyl-4-[(S)-[3-[[[4-(1*H*-imidazol-1-yl)phenyl]methyl]amino]-phenyl]-1-
piperazinylmethyl]benzamide;

N,N-diethyl-4-[(*S*)-1-piperazinyl[3-[(2-quinolinylmethyl)amino]phenyl]-methyl]benzamide;

4-[(*R*)-[3-[(2,2-diphenylethyl)amino]phenyl]-1-piperazinylmethyl]-*N,N*-diethylbenzamide;

5 4-[(*R*)-[3-[[4-(1,1-dimethylethyl)phenyl]methyl]amino]phenyl]-1-piperazinylmethyl]-*N,N*-diethylbenzamide;

N,N-diethyl-4-[(*R*)-[3-[(4-phenoxyphenyl)methyl]amino]phenyl]-1-piperazinylmethyl]benzamide;

10 *N,N*-diethyl-4-[(*R*)-[4-(2-propenyl)-1-piperazinyl][3-(propylamino)-phenyl]methyl]benzamide;

4-{(*R*)-(3-aminophenyl)[4-(2-methoxyethyl)piperazin-1-yl]methyl}-*N,N*-diethylbenzamide;

4-{(*R*)-(3-aminophenyl)[4-(3-methoxypropyl)piperazin-1-yl]methyl}-*N,N*-diethylbenzamide;

15 *N,N*-diethyl-4-[(*R*)-[4-(2-methoxyethyl)-1-piperazinyl][3-(propylamino)-phenyl]methyl]benzamide;

N,N-diethyl-4-[(*R*)-[4-(3-methoxypropyl)-1-piperazinyl][3-(propylamino)phenyl]methyl]benzamide;

4-[(*S*)-[3-(cycloheptylamino)phenyl](piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;

20 4-[(*S*)-[3-(cyclooctylamino)phenyl](piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;

N,N-diethyl-4-[(*S*)-{3-[(3-phenylpropanoyl)amino]phenyl}(piperazin-1-yl)methyl]benzamide;

4-[(*R*)-(3-aminophenyl)[4-(2-propenyl)-1-piperazinyl]methyl]-*N,N*-diethylbenzamide;

25 4-[(*R*)-(3-aminophenyl)[4-(3-methyl-2-butenyl)-1-piperazinyl]methyl]-*N,N*-diethylbenzamide;

4-[(*R*)-(3-aminophenyl)[4-(cyclopropylmethyl)-1-piperazinyl]methyl]-*N,N*-diethylbenzamide;

N,N-diethyl-4-[(*R*)-[4-(2-propenyl)-1-piperazinyl][3-[(2-thienylmethyl)amino]phenyl]methyl]benzamide;

30 *N,N*-diethyl-4-[(*R*)-[4-(3-methyl-2-butenyl)-1-piperazinyl][3-[(2-thienylmethyl)amino]phenyl]methyl]benzamide;

4-[(*R*)-[4-(cyclopropylmethyl)-1-piperazinyl][3-[(2-thienylmethyl)amino]phenyl]methyl]-*N,N*-diethyl-benzamide;

4-[(*S*)-[3-(cyclohexylamino)phenyl][4-(cyclopropylmethyl)piperazin-1-yl]methyl]-*N,N*-diethylbenzamide;

5 4-[(*S*)-[3-(cyclohexylamino)phenyl](4-propylpiperazin-1-yl)methyl]-*N,N*-diethylbenzamide;

4-[(*S*)-[3-(cyclohexylamino)phenyl](4-ethylpiperazin-1-yl)methyl]-*N,N*-diethylbenzamide;

10 4-[(*S*)-(4-allylpiperazin-1-yl)[3-(cyclohexylamino)phenyl]methyl]-*N,N*-diethylbenzamide;

4-[(*S*)-{3-[(cyclohexylcarbonyl)amino]phenyl}(piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;

4-[(*S*)-{3-[(cyclohexylacetyl)amino]phenyl}(piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;

15 4-[(*S*)-{3-[cyclohexyl(methyl)amino]phenyl}(piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;

4-[(*R*)-{3-[cyclohexyl(methyl)amino]phenyl}(piperazin-1-yl)methyl]-*N,N*-diethylbenzamide;

enantiomers thereof; and pharmaceutically acceptable salts thereof.

20 11. A compound according to any one of claims 1-10 for use as a medicament.

12. The use of a compound according to any one of claims 1-10 in the manufacture of a medicament for the therapy of pain, anxiety or functional

25 gastrointestinal disorders.

13. A pharmaceutical composition comprising a compound according to any one of claims 1-10 and a pharmaceutically acceptable carrier.

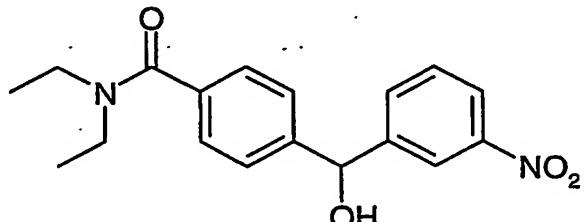
30 14. A method for the therapy of pain in a warm-blooded animal, comprising the step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of claims 1-10.

15. A method for the therapy of functional gastrointestinal disorders in a warm-blooded animal, comprising the step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of
5 claims 1-10.

10

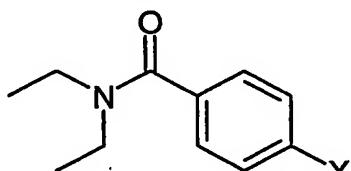
16. A method for the therapy of anxiety in a warm-blooded animal, comprising the step of administering to said animal in need of such therapy a therapeutically effective amount of a compound according to any one of claims 1-10.

17. A process for preparing a compound of formula II, comprising:



II

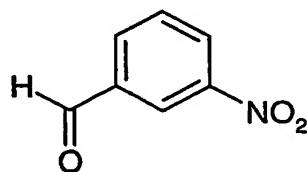
a) reacting a compound of formula III:



III

15

with a compound of formula IV

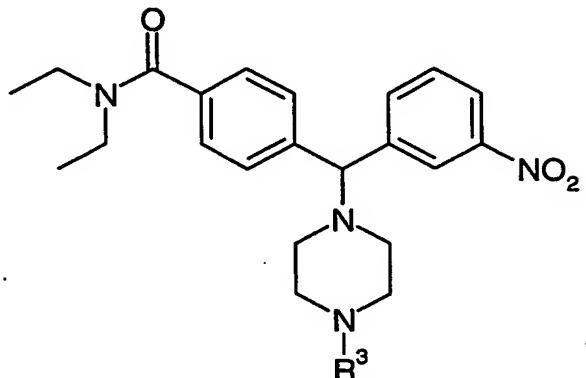


IV

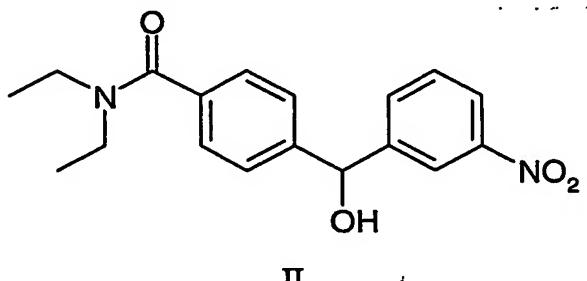
20 in the presence of a base having a pKa of more than 15
wherein

X is a halogen.

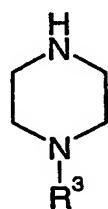
18. A process for preparing a compound of formula VI:



5 comprising: reacting a compound of formula II



with a compound of formula VII



10

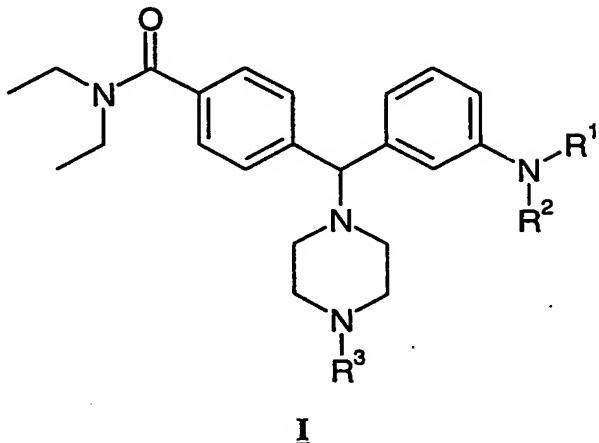
in the presence of SO_2 to form the compound of formula VI,

wherein

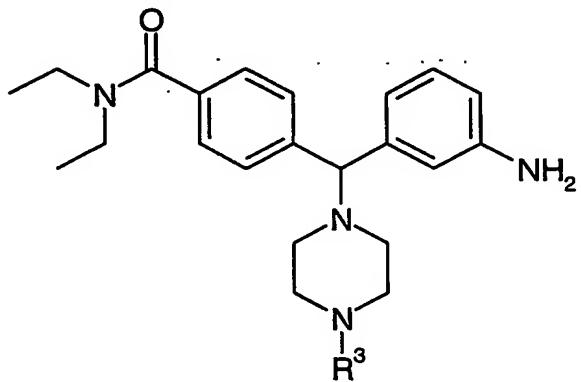
15 R^3 is selected from -H, C_{1-6} alkyl-O-C(=O)-, C_{1-6} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl- C_{1-4} alkyl, wherein said C_{1-6} alkyl-O-C(=O)-, C_{1-6} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl- C_{1-4} alkyl are optionally substituted with one or more groups selected from C_{1-6} alkyl, halogenated C_{1-6} alkyl, $-\text{NO}_2$, $-\text{CF}_3$, C_{1-6} alkoxy and halogen; and

X is halogen.

19. A process for preparing a compound of formula I,



comprising: reacting a compound of formula VIII,



5

VIII

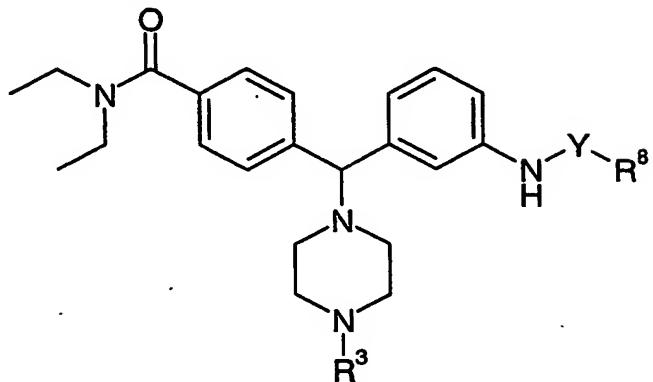
with R⁹-CHO in the presence of a reducing agent to form the compound of formula I:
wherein

10 R¹ is R⁹-CH₂-; wherein R⁹ is selected from phenyl, pyridyl, thienyl, furyl, imidazolyl, triazolyl, pyrrolyl, thiazolyl, N-oxido-pyridyl, benzyl, pyridylmethyl, thienylmethyl, furylmethyl, imidazolylmethyl, triazolylmethyl, pyrrolylmethyl, thiazolylmethyl and N-oxido-pyridylmethyl, optionally substituted with one or more groups selected from C₁₋₄alkyl, halogen, -CF₃, -OH, C₁₋₃alkoxy, phenoxy and halogen;

15 R² is -H; and

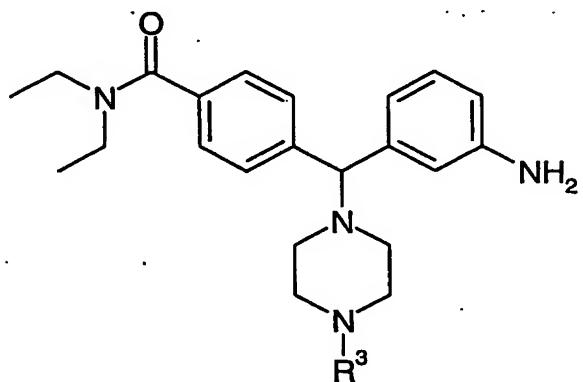
R³ is selected from C₁₋₆alkyl-O-C(=O)-, C₁₋₆alkyl, C₃₋₆cycloalkyl, and C₃₋₆cycloalkyl-C₁₋₄alkyl, wherein said C₁₋₆alkyl-O-C(=O)-, C₁₋₆alkyl, C₃₋₆cycloalkyl, and C₃₋₆cycloalkyl-C₁₋₄alkyl are optionally substituted with one or more groups selected from C₁₋₆alkyl, halogenated C₁₋₆alkyl, -NO₂, -CF₃, C₁₋₆alkoxy and halogen.

20. A process for preparing a compound of formula IX,



IX

5 comprising: reacting a compound of formula VIII,



VIII

with R⁸-Y-X or R⁸-Y-O-Y-R⁸ to form the compound of formula IX:

wherein

10 X is halogen;

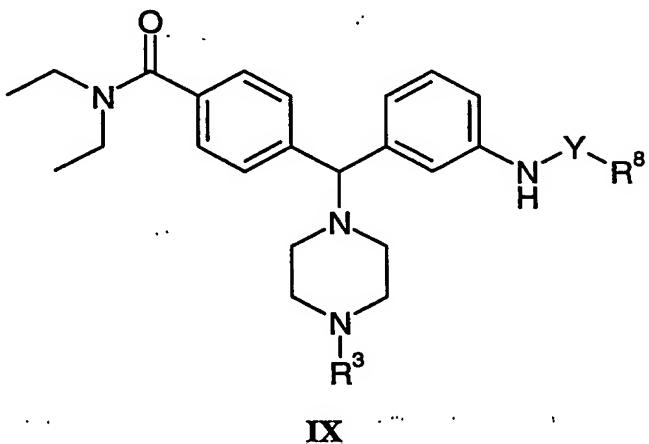
Y is selected from -C(=O)- and -S(=O)₂-;

R⁸ is selected from C₃₋₆alkyl, C₆₋₁₀aryl, C₂₋₆heteroaryl, C₆₋₁₀aryl-C₁₋₄alkyl, C₂₋₆heteroaryl-C₁₋₄alkyl, C₃₋₁₀cycloalkyl, and C₃₋₁₀cycloalkyl-C₁₋₄alkyl; wherein said C₃₋₆alkyl, C₆₋₁₀aryl, C₂₋₆heteroaryl, C₆₋₁₀aryl-C₁₋₄alkyl, C₂₋₆heteroaryl-C₁₋₄alkyl, C₃₋₁₀cycloalkyl, and C₃₋₁₀cycloalkyl-C₁₋₄alkyl are optionally substituted with C₁₋₄alkyl, halogen, -CF₃, -OH, C₁₋₃alkoxy, phenoxy, and halogen; and

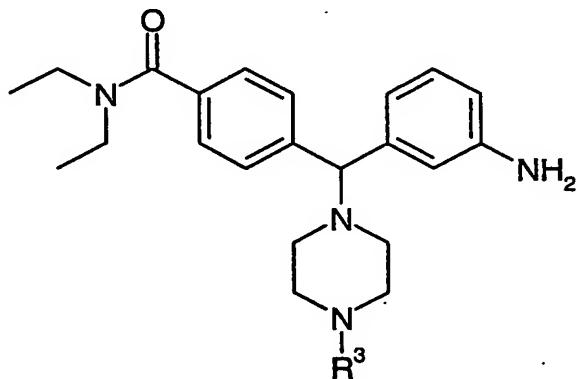
15 R³ is selected from C₁₋₆alkyl-O-C(=O)-, C₁₋₆alkyl, C₃₋₆cycloalkyl, and C₃₋₆cycloalkyl-C₁₋₄alkyl, wherein said C₁₋₆alkyl-O-C(=O)-, C₁₋₆alkyl, C₃₋₆cycloalkyl,

and C_{3-6} cycloalkyl- C_{1-4} alkyl are optionally substituted with one or more groups selected from C_{1-6} alkyl, halogenated C_{1-6} alkyl, $-NO_2$, $-CF_3$, C_{1-6} alkoxy and halogen.

21. A process for preparing a compound of formula IX,



comprising: reacting a compound of formula VIII,



VIII

10 with R^8 -Z to form the compound of formula IX:

wherein

Z is selected from $-NCO$ and $-NCS$;

Y is selected from $-C(=O)NH-$ and $-C(=S)NH-$;

R^8 is selected from C_{3-6} alkyl, C_{6-10} aryl, C_{2-6} heteroaryl, C_{6-10} aryl- C_{1-4} alkyl,

15 C_{2-6} heteroaryl- C_{1-4} alkyl, C_{3-10} cycloalkyl, and C_{3-10} cycloalkyl- C_{1-4} alkyl; wherein said C_{3-6} alkyl, C_{6-10} aryl, C_{2-6} heteroaryl, C_{6-10} aryl- C_{1-4} alkyl, C_{2-6} heteroaryl- C_{1-4} alkyl, C_{3-10} cycloalkyl, and C_{3-10} cycloalkyl- C_{1-4} alkyl are optionally substituted with C_{1-4} alkyl, halogen, $-CF_3$, $-OH$, C_{1-3} alkoxy, phenoxy, and halogen; and

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R^3 is selected from $C_{1-6}alkyl-O-C(=O)-$, $C_{1-6}alkyl$, $C_{3-6}cycloalkyl$, and $C_{3-6}cycloalkyl-C_{1-4}alkyl$, wherein said $C_{1-6}alkyl-O-C(=O)-$, $C_{1-6}alkyl$, $C_{3-6}cycloalkyl$, and $C_{3-6}cycloalkyl-C_{1-4}alkyl$ are optionally substituted with one or more groups selected from $C_{1-6}alkyl$, halogenated $C_{1-6}alkyl$, $-NO_2$, $-CF_3$, $C_{1-6}alkoxy$ and halogen.

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